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PATENT ABSTRACTS OF JAPAN

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(71) Applicant: **MITSUBISHI CHEM IND LTD**

(72) Inventor: **TAKEDA YOSHIYUKI**
KAWASHIMA OSAMU
FURUKAWA SHIRO
OGINO YASUKAZU

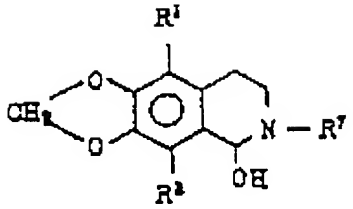
(74) Representative:

(54) PRODUCTION OF AMINATED PHTHALIDE-ISOQUINOLINE

(57) Abstract:

PURPOSE: The reduction of a nitrophthalide-isoquinoline with NaBH2S3 or LiBH4 enables industrially advantageous production of the title compound which is used as a medicine without use of a metallic catalyst.

CONSTITUTION: A nitro compound of formula III, resulting from condensation reaction between a tetrahydroisoquinolien of formula I (R1, R2 are H, lower alkoxy; R7 is lower alkyl) and a nitrophthalide of formula II (at least one of R3'WR6' are nitro), is reduced with NaBH2S3 or LiBH4, preferably in an amount of 1.5W2mol to give the objective compound of formula IV (at least one of R3WR6 is amino). The reduction reaction is carried out in a solvent of 3W20 times the weight of the reactant at 20W60°C for 0.5W30hr. The resultant amino compound is epimerized, when needed, to increase the content of isomer A.



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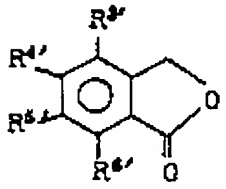
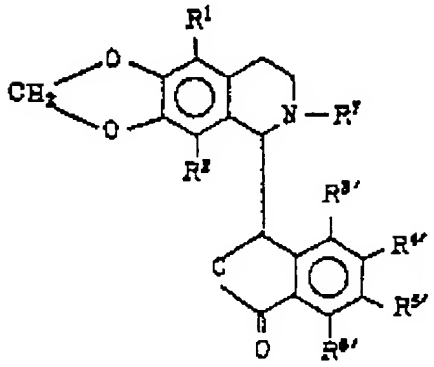


II



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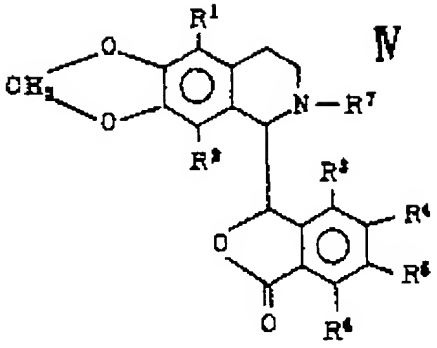

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